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STN Express with Discover!  
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NEWS 5 NOV 30 PHAR reloaded with additional data  
NEWS 6 DEC 01 LISA now available on STN  
NEWS 7 DEC 09 12 databases to be removed from STN on December 31, 2004  
NEWS 8 DEC 15 MEDLINE update schedule for December 2004  
NEWS 9 DEC 17 ELCOM reloaded; updating to resume; current-awareness  
alerts (SDIs) affected  
NEWS 10 DEC 17 COMPUH reloaded; updating to resume; current-awareness  
alerts (SDIs) affected  
NEWS 11 DEC 17 SOLIDSTATE reloaded; updating to resume; current-awareness  
alerts (SDIs) affected  
NEWS 12 DEC 17 CERBA reloaded; updating to resume; current-awareness  
alerts (SDIs) affected  
NEWS 13 DEC 17 THREE NEW FIELDS ADDED TO IFIRAT/IFIDB/IFICDB  
NEWS 14 DEC 30 EPPUL: New patent full text database to be available on STN  
NEWS 15 DEC 30 CAPLUS - PATENT COVERAGE EXPANDED  
NEWS 16 JAN 03 No connect-hour charges in EPPUL during January and  
February 2005  
NEWS 17 JAN 26 CA/CAPLUS - Expanded patent coverage to include the Russian  
Agency for Patents and Trademarks (ROSPATENT)

NEWS EXPRESS JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT  
MACINTOSH VERSION IS V6.0(ENCL) AND V6.0(CJP),  
AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005

NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS INTER General Internet Information  
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NEWS PHONE Direct Dial and Telecommunication Network Access to STN  
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\*\*\*\*\* STN Columbus \*\*\*\*\*

FILE 'HOME' ENTERED AT 11:30:14 ON 28 JAN 2005

=> FILE REG

COST IN U.S. DOLLARS SINCE FILE TOTAL  
FULL ESTIMATED COST ENTRY SESSION  
0.21 0.21

FILE 'REGISTRY' ENTERED AT 11:30:18 ON 28 JAN 2005  
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STRUCTURE FILE UPDATES: 26 JAN 2005 HIGHEST RN 820958-11-0  
DICTIONARY FILE UPDATES: 26 JAN 2005 HIGHEST RN 820958-11-0

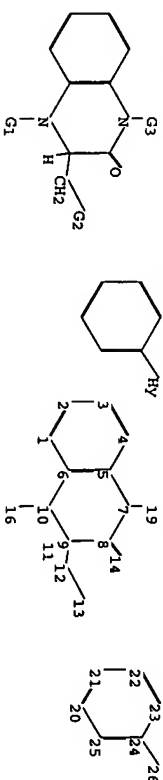
TSQA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBS/registry.html>

=> Uploading C:\Program Files\Stnexp\Queries\DNA SHI BRADY.str



Chain nodes : 11 12 13 14 16 19 26

ring nodes : 1 2 3 4 5 6 7 8 9 10 20 21 22 23 24 25

Chain bonds : 7-19 8-14 9-11 9-12 10-16 12-13 24-26

ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 20-21 20-25 21-22 22-23

23-24 24-25 exact/norm bonds : 5-7 6-10 7-8 7-19 8-9 8-14 9-10 10-16 12-13 24-26

exact bonds : 9-11 9-12

normalized bonds : 1-2 1-6 2-3 3-4 4-5 5-6 20-21 20-25 21-22 22-23 23-24 24-25

G1:C,S

G2:C,O,S,N

G3:C,H

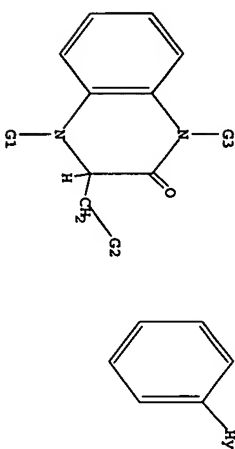
Match level :

STN SEARCH TRANSCRIPT 10/6/14, 539

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:Atom 21:Atom  
 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom  
 Generic attributes :  
 26:  
 Number of Carbon Atoms : less than 7  
 Number of Hetero Atoms : 2 or more  
 Type of Ring System : Monocyclic

L1 STRUCTURE UPLOADED

=> D L1  
 L1 HAS NO ANSWERS  
 STR



G1 C,S  
 G2 C,O,S,N  
 G3 C,H

Structure attributes must be viewed using STN Express query preparation.

=> S L1  
 SAMPLE SEARCH INITIATED 11:30:39 FILE 'REGISTRY'  
 SAMPLE SCREEN SEARCH COMPLETED - 194 TO ITERATE  
 100.0% PROCESSED 194 ITERATIONS 7 ANSWERS  
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
 BATCH \*\*COMPLETE\*\*  
 PROJECTED ITERATIONS: 3045 TO 4715  
 PROJECTED ANSWERS: 7 TO 298

L2 7 SEA SSS SAM L1

=> S L1 SSS FULL  
 FULL SEARCH INITIATED 11:31:25 FILE 'REGISTRY'  
 FULL SCREEN SEARCH COMPLETED - 4148 TO ITERATE  
 100.0% PROCESSED 4148 ITERATIONS 104 ANSWERS  
 SEARCH TIME: 00.00.01

L3 104 SEA SSS FULL L1

=> FILE CAPLUS  
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 FULL ESTIMATED COST  
 SINCE FILE  
 ENTRY  
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 TOTAL  
 161.97  
 FILE 'CAPLUS' ENTERED AT 11:31:30 ON 28 JAN 2005  
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FILE COVERS 1907 - 28 JAN 2005 VOL 142 ISS 6  
 FILE LAST UPDATED: 27 JAN 2005 (20050127/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> S L3  
 L4 7 L3

=> D 1-7 IBIB ABS HITSTR

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2005 ACS ON STN  
 ACCESSION NUMBER:  
 DOCUMENT NUMBER:  
 TITLE:  
 142:48410  
 2004:967777 CAPLUS

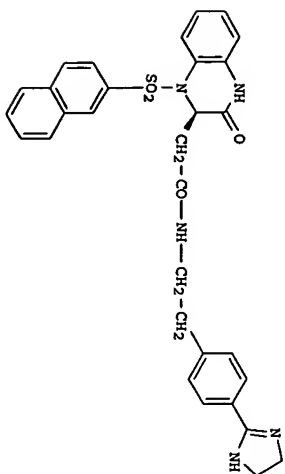
AUTHOR(S):

Development of an efficient and selective radioligand for Bradykinin B1 receptor occupancy studies  
 Dai-Shin Markowitz, M. Kristine Murphy, Kathy L. Sun, Benjamin Zrada, Matthew M. Harrell, C. Meacham, O'Malley, Stacy S.; Hess, J. Fred; Ransom, Rick W.; Chang, Ray S.; Wallace, Michael A.; Raab, Conrad B.; Dean, Dennis C.; Pettibone, Douglas J.; Freidinger, Roger M.; Bock, Mark G.  
 Department of Medicinal Chemistry, Merck Research Laboratories, West Point, PA, 19486, USA  
 Bioorganic & Medicinal Chemistry Letters (2004), 14(24), 6045-6048  
 CODEN: BMCLB8; ISSN: 0960-894X  
 Elsevier B.V.  
 Journal English

CORPORATE SOURCE:

APR 15

PUBLISHER:  
 DOCUMENT TYPE:  
 LANGUAGE:  
 GI



1

AB We have developed an efficient and selective radioligand, the [35S]-radiolabeled dihydroquinoxaline derivative, 1, for an ex vivo receptor occupancy assay in transgenic rats over-expressing the human bradykinin B1 receptor.

IT 714564-55-3P 714564-94-0P 714565-08-3P

714565-23-8P 714565-27-3P 714565-60-3P

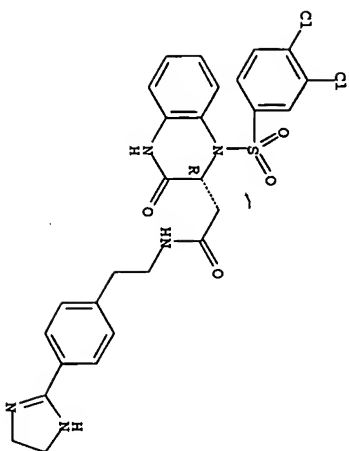
714565-98-7P 808770-57-3P

Ru. BSU (Biological study, unclassified): PKT (Pharmacokinetics): SPN (Synthetic preparation): BIOL. (Biological study): PRP (Preparation) (efficient and selective radioligand for bradykinin B1 receptor occupancy studies)

714564-55-3 CAPLUS

RN 2-quininoxalineacetamide, 1-[(3,4-dichlorophenyl)sulfonyl]-N-[2-[4-(4,5-dihydro-1H-imidazol-2-yl)phenyl]ethyl]-1,2,3,4-tetrahydro-3-oxo-, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

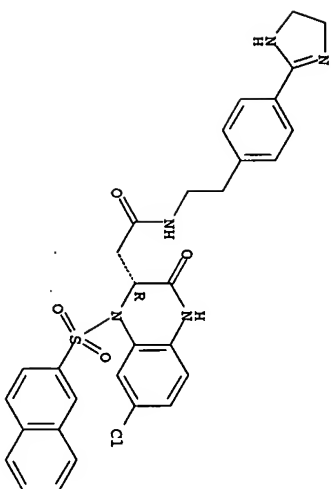


RN 714564-94-0 CAPLUS

2-quininoxalineacetamide, 7-chloro-N-[2-[4-(4,5-dihydro-1H-imidazol-2-yl)phenyl]ethyl]-1,2,3,4-tetrahydro-1-(2-naphthalenylsulfonyl)-3-oxo-,

(2R) - (9CI) (CA INDEX NAME)

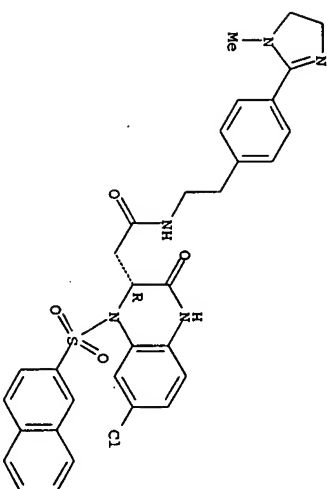
Absolute stereochemistry.



RN 714565-08-9 CAPLUS

CN 2-quininoxalineacetamide, 7-chloro-N-[2-[4-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)phenyl]ethyl]-1,2,3,4-tetrahydro-1-(2-naphthalenylsulfonyl)-3-oxo-, (2R) - (9CI) (CA INDEX NAME)

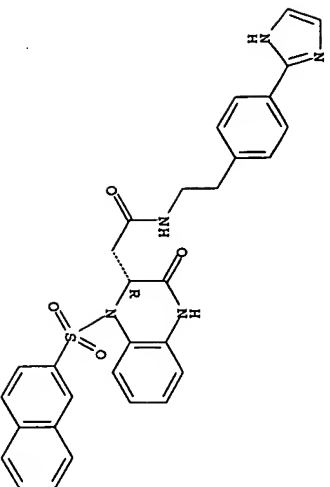
Absolute stereochemistry.



RN 714565-23-8 CAPLUS

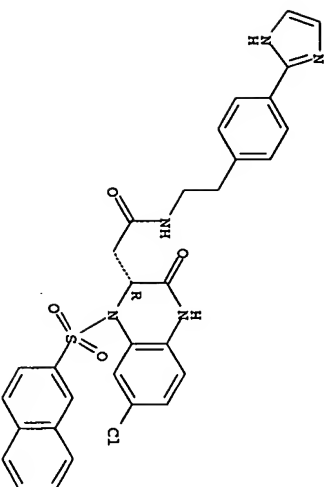
CN 2-quininoxalineacetamide, 1,2,3,4-tetrahydro-N-[2-[4-(1H-imidazol-2-yl)phenyl]ethyl]-1-(2-naphthalenylsulfonyl)-3-oxo-, (2R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



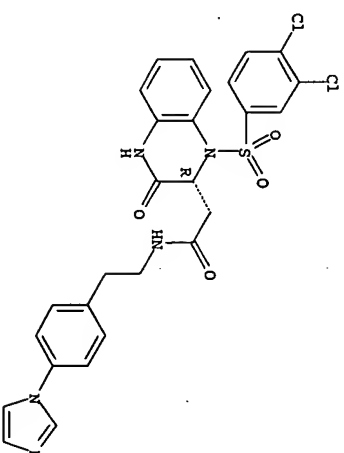
RN 714565-27-2 CAPLUS  
CN 2-Quinoxalineacetamide, 7-chloro-1,2,3,4-tetrahydro-N-[(2-[4-(1H-imidazol-2-yl)phenyl]ethyl)-1-(2-naphthalenyl)sulfonyl]-3-oxo-, (2R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



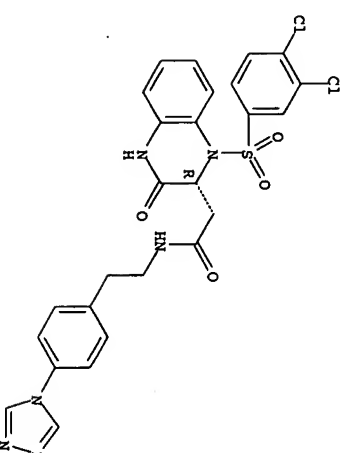
RN 714565-60-3 CAPLUS  
CN 2-Quinoxalineacetamide, 1-[(1,3,4-dichlorophenyl)sulfonyl]-1,2,3,4-tetrahydro-N-[(2-[4-(1H-imidazol-1-yl)phenyl]ethyl)-3-oxo-, (2R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



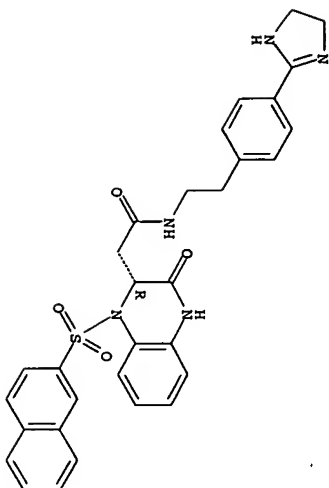
RN 714565-98-7 CAPLUS  
CN 2-Quinoxalineacetamide, 1-[(1,3,4-dichlorophenyl)sulfonyl]-1,2,3,4-tetrahydro-3-oxo-N-[(2-[4-(4H-1,2,4-triazol-4-yl)phenyl]ethyl)-, (2R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 808770-57-2 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

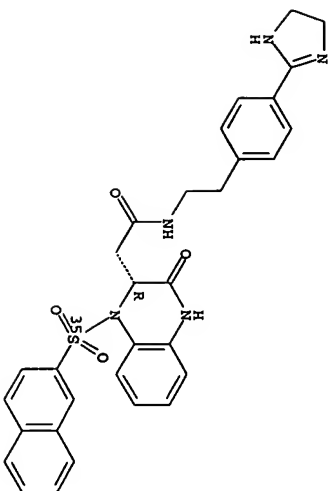
Absolute stereochemistry..



● HCl

IT 808770-58-3P  
 RU: BSU (Biological study); unclassified; SPN (Synthetic preparation);  
 BIOL (Biological study); PREP (Preparation)  
 (efficient and selective radioligand for bradykinin B1 receptor  
 occupancy studies)  
 RN 808770-58-3 CAPLUS  
 CN 2-Quinoxalineacetamide, N-(2-((4,5-dihydro-1H-imidazol-2-yl)phenyl)ethyl)-1,2,3,4-tetrahydro-1-(2-naphthylsulfonyl)-3-oxo-, (2R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 17

THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2005 ACS on STM  
 ACCESSION NUMBER: 2004:750984 CAPLUS  
 DOCUMENT NUMBER: 141:343321  
 TITLE: Pharmacological characterization and radioligand binding properties of a high-affinity, nonpeptide, bradykinin B1 receptor antagonist

AUTHOR(S):

R.: Murphy, Kathryn L.; Chang, Raymond S. L.; Hess, J. Fred.; Miller, Patricia J.; O'Malley, Stacey S.; Hey, Pat J.; Kunapuli, Priya, Su, Dai-Shi; Markowitz, M. Kristine; Wallace, Michael A.; Raab, Conrad E.; Jones, Allen N.; Dean, Dennis C.; Feltbome, Douglas J.; Freidinger, Roger M.; Bock, Mark G.  
 Department of Molecular Neurology, WP46-300, Merck Research Laboratories, West Point, PA, 19486, USA  
 SOURCE: European Journal of Pharmacology (2004), 499(1-2), 77-84  
 CODEN: EJPHAZ; ISSN: 0014-2999  
 Elsevier B.V.

PUBLISHER:

DOCUMENT TYPE:

LANGUAGE:

English

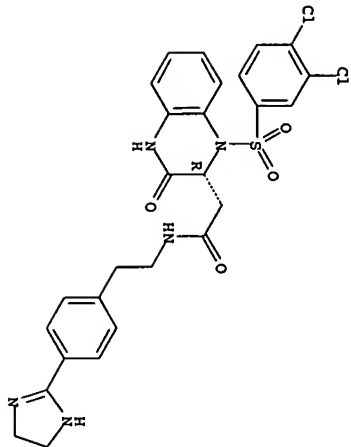
AB

Compound A (N-(2-((4,5-dihydro-1H-imidazol-2-yl)phenyl)ethyl)-2-((2R)-1-(2-naphthylsulfonyl)-3-oxo-1,2,3,4-tetrahydroquinoxalin-2-yl)acetamide) is a member of a new class of aryl sulfonyl dihydroquinoxaline bradykinin B1 receptor antagonists that should be useful pharmacol. tools. Here we report on some of the pharmacol. properties of compound A as well as the characterization of [35S]compound A as the first nonpeptide bradykinin B1 receptor radioligand. Compound A inhibited tritiated peptide ligand binding to the cloned human, rabbit, dog, and rat bradykinin B1 receptors expressed in CHO cells with Ki values of 0.016, 0.050, 0.56, and 29 nM, resp.. It was inactive at 10 µM in binding assays with the cloned human bradykinin B2 receptor. In functional antagonist assays with the cloned bradykinin B1 receptors, compound A inhibited agonist-induced signaling with activities consistent with the competition binding results, but had no antagonist activity with the bradykinin B2 receptor. Compound A was also found to be a potent antagonist in a rabbit aorta tissue bath preparation and to effectively block des-Arg9 bradykinin depressor responses in lipopolysaccharide-treated rabbit following i.v. administration. The binding of [35S]compound A was evaluated with the cloned bradykinin B1 receptors. In assays with human, rabbit, and dog receptors, [35S]compound A labeled a single site with Kd values of 0.012, 0.064, and 0.37 nM, resp., and with binding site densities equivalent to those obtained using the conventional tritiated peptide ligands. Binding assays with the cloned rat bradykinin B1 receptor were not successful, presumably due to the low affinity of the ligand for this species receptor. There was no specific binding of the ligand detected in CHO cells expressing the human bradykinin B2 receptor. In assays with the cloned human bradykinin B1 receptor, the pharmacologies of the binding of [35S]compound A and [3H]leu5-des-Arg10-kallidin were the same. The high signal-to-noise ratio obtained with [35S]compound A will allow this ligand to be a very useful tool for future investigations of the bradykinin B1 receptor.

IT 714564-55-3 714565-13-6

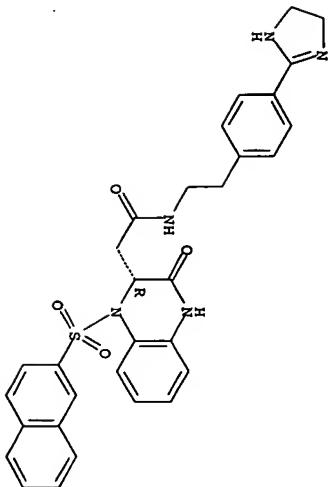
RU: DMA (Drug mechanism of action); PAC (Pharmacological activity); BIOL (Biological study)  
 (pharmacol. characterization and radioligand binding properties of a high-affinity, nonpeptide, bradykinin B1 receptor antagonist)  
 RN 714564-55-3 CAPLUS  
 CN 2-Quinoxalineacetamide, 1-((1,3,4-dichlorophenyl)sulfonyl)-N-(2-((4,5-dihydro-1H-imidazol-2-yl)phenyl)ethyl)-1,2,3,4-tetrahydro-3-oxo-, (2R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 714565-13-6 CAPLUS  
CN 2-Quinoxalineacetamide, N-[2-(4-(4,5-dihydro-1H-imidazol-2-yl)phenyl)ethyl]-1,2,3,4-tetrahydro-1-(2-naphthalenylsulfonyl)-3-oxo-, (2R) - (3CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

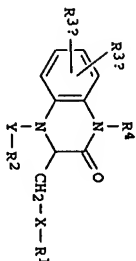
31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER:  
DOCUMENT NUMBER:  
141:89112  
TITLE:  
Preparation of quinoxalinones as bradykinin B1 antagonists for the treatment of pain and inflammation.

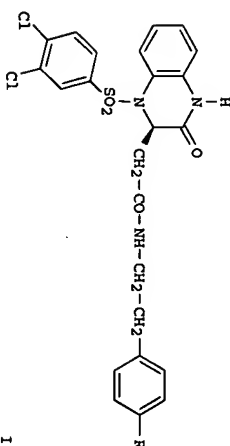
INVENTOR(S) :  
PATENT ASSIGNEE(S) :  
SOURCE:  
Merck & Co., Inc., USA  
PCT Int. Appl., 51 pp.  
CODEN: PIXDD  
DOCUMENT TYPE:  
Patent

LANGUAGE:  
FAMILY ACC. NUM. COUNT: 1 English  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004054584	A1	20040701	WO 2003-US9058	20031209
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RM: BM, GW, GM, KE, LS, MW, WZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, ME, NL, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GT, HE, HR, IL, IN, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
US 2004132733	A1	20040708	US 2003-614469	20030707
PRIORITY APPL. INFO.:				
OTHER SOURCE(S):				
		MARPAT 141:89112		P 20021213



I



II

AB Title compds. I [X = (CH2)mCONRb, (CH2)mRbCO, (CH2)mCO2, etc.; m = 0-2; Rb = H, alkyl; Y = CO, CO2, SO2, etc.; R1 = (un)substituted alkyl, cycloalkyl, aryl, etc.; R3a, R3b = n = 0-10; R2 = (un)substituted alkyl, cycloalkyl, aryl, etc.; R3a, R3b = H, halo, alkyl, etc.; R4 = H, alkyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts were prepared for example, condensation of ethylene diamine and cyanophenyl II [R = CN], e.g., prepared from di-Me D-aspartate in 5-steps, afforded dihydro-1H-imidazol II [R = C-NHCH2CH2NH-] in 51% yield. In human bradykinin B1-B2 receptor binding assays, compd. I exhibited affinity for the B1 receptor at least 10-fold, and preferably over 100-fold, over that for the B2 receptor (sic). Compds. I are claimed useful in the treatment or prevention of symptoms such as pain and inflammation associated with the bradykinin B1 pathway.

IT

714564-55-3P 714564-60-0P 714564-65-5P  
714564-69-9P 714564-79-1P 714564-84-8P  
714564-89-3P 714564-94-0P 714564-99-5P  
714565-04-5P 714565-08-9P 714565-13-6P  
714565-18-1P 714565-23-8P 714565-27-2P

APPLICANTS

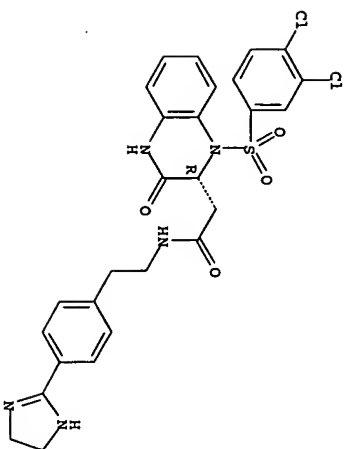
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 714566-43-5P 714566-61-7P 714566-65-1P  
 714567-44-9P 714567-54-1P 714567-65-4P  
 714567-75-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIO: (Biological study); PREP (Preparation); USES (Uses)

(Preparation of quinoxalines as bradykinin B1 antagonists for the treatment of pain and inflammation.)

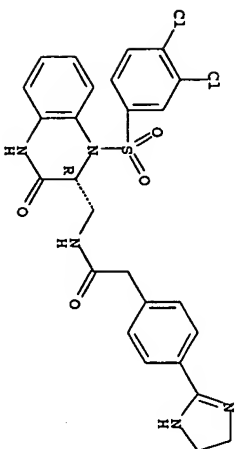
RN 714564-55-3 CAPUS  
 CN 2-Quinoxalineacetamide, 1-[(3,4-dichlorophenyl)sulfonyl]-N-[2-[4,5-dihydro-1H-imidazol-2-yl]phenyl]ethyl]-1,2,3,4-tetrahydro-3-oxo-, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 714564-60-0 CAPUS  
 CN Benzeneacetamide, N-[(2R)-1-[(3,4-dichlorophenyl)sulfonyl]-1,2,3,4-tetrahydro-3-oxo-2-quinoxaliny]methyl]-4-(4,5-dihydro-1H-imidazol-2-yl)-(9CI) (CA INDEX NAME)

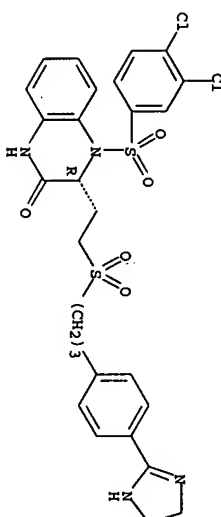
Absolute stereochemistry.



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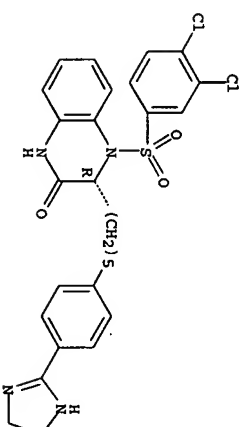
CN 2(1H)-Quinoxalinone, 4-[(3,4-dichlorophenyl)sulfonyl]-3-[2-[(3-[4,5-dihydro-1H-imidazol-2-yl]phenyl]propyl)sulfonyl]ethyl]-3,4-dihydro-, (3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



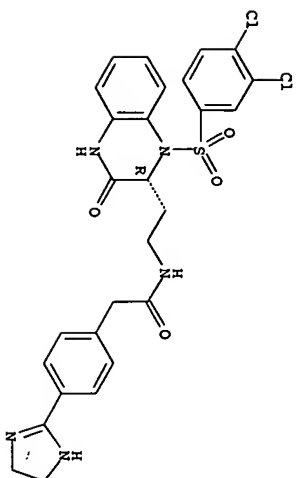
RN 714564-69-9 CAPUS  
 CN 2(1H)-Quinoxalinone, 4-[(3,4-dichlorophenyl)sulfonyl]-3-[5-[4,5-dihydro-1H-imidazol-2-yl]phenyl]pentyl]-3,4-dihydro-, (3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



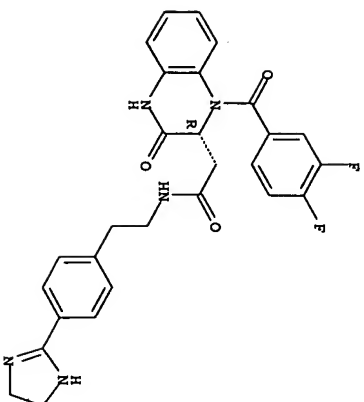
RN 714564-79-1 CAPUS  
 CN Benzeneacetamide, N-[2-[(2R)-1-[(3,4-dichlorophenyl)sulfonyl]-1,2,3,4-tetrahydro-3-oxo-2-quinoxaliny]ethyl]-4-(4,5-dihydro-1H-imidazol-2-yl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



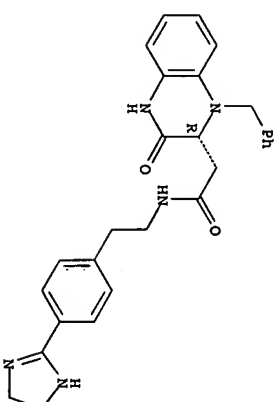
RN 714564-84-8 CAPLUS  
CN 2-Quinoxalineacetamide, 1-(3,4-difluorobenzoyl)-N-[2-(4,5-dihydro-1H-imidazol-2-yl)phenyl]ethyl]-1,2,3,4-tetrahydro-3-oxo-, (2R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



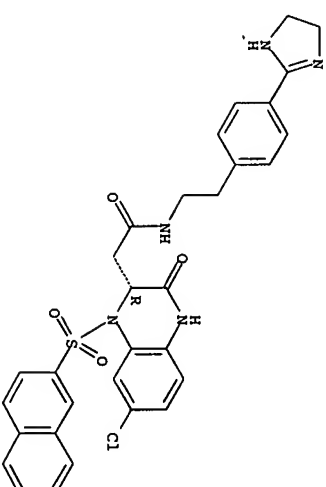
RN 714564-89-3 CAPLUS  
CN 2-Quinoxalineacetamide, N-[2-(4,5-dihydro-1H-imidazol-2-yl)phenyl]ethyl]-1,2,3,4-tetrahydro-3-oxo-1-(phenylmethyl)-, (2R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 714564-94-0 CAPLUS  
CN 2-Quinoxalineacetamide, 7-chloro-N-[2-(4,5-dihydro-1H-imidazol-2-yl)phenyl]ethyl]-1,2,3,4-tetrahydro-1-(2-naphthalenylsulfonyl)-3-oxo-, (2R) - (9CI) (CA INDEX NAME)

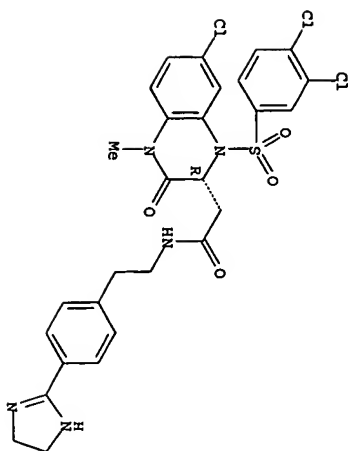
Absolute stereochemistry.



RN 714564-99-5 CAPLUS  
CN 2-Quinoxalineacetamide, 7-chloro-N-[2-(4,5-dihydro-1H-imidazol-2-yl)phenyl]ethyl]-1,2,3,4-tetrahydro-4-methyl-3-oxo-, (2R) - (9CI) (CA INDEX NAME)

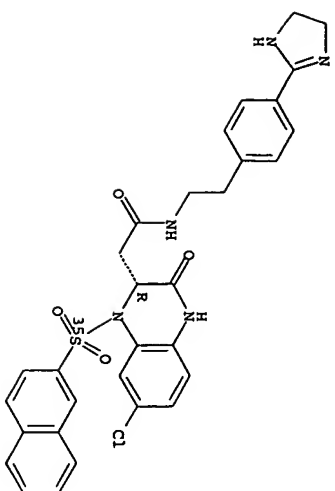
Absolute stereochemistry.





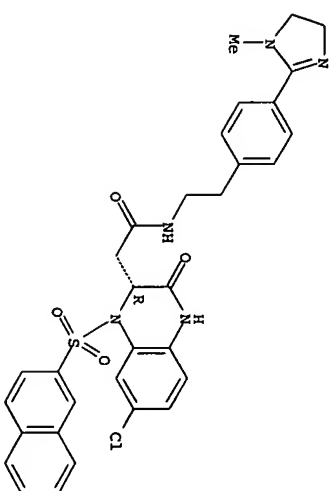
RN 714565-04-5 CAPLUS  
 CN 2-Quinoxalineacetamide, 7-chloro-N-[2-(4-(4,5-dihydro-1H-imidazol-2-yl)phenyl]ethyl]-1,2,3,4-tetrahydro-1-(2-naphthalenylsulfonyl)-3-oxo-, (2R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



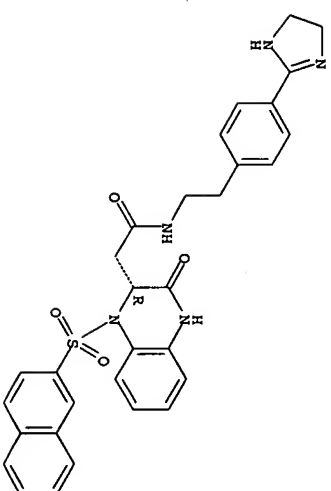
RN 714565-08-9 CAPLUS  
 CN 2-Quinoxalineacetamide, 7-chloro-N-[2-(4-(4,5-dihydro-1H-imidazol-2-yl)phenyl]ethyl]-1,2,3,4-tetrahydro-1-(2-naphthalenylsulfonyl)-3-oxo-, (2R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



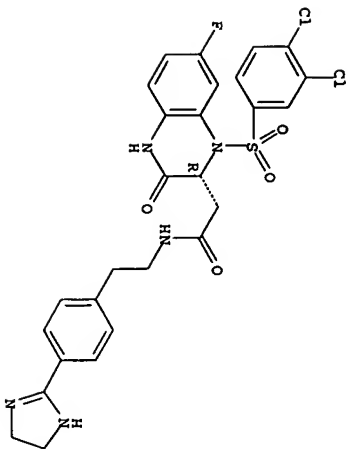
RN 714565-13-6 CAPLUS  
 CN 2-Quinoxalineacetamide, N-[2-(4-(4,5-dihydro-1H-imidazol-2-yl)phenyl]ethyl]-1,2,3,4-tetrahydro-1-(2-naphthalenylsulfonyl)-3-oxo-, (2R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



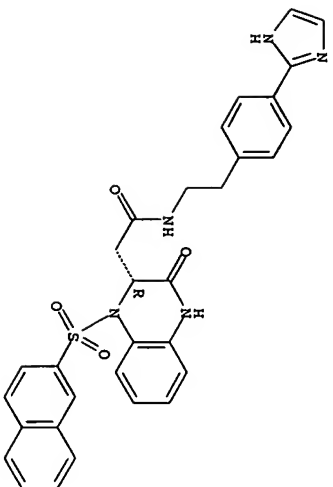
RN 714565-18-1 CAPLUS  
 CN 2-Quinoxalineacetamide, 1-((3,4-dichlorophenyl)sulfonyl)-N-[2-(4-(4,5-dihydro-1H-imidazol-2-yl)phenyl]ethyl]-7-fluoro-1,2,3,4-tetrahydro-3-oxo-, (2R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



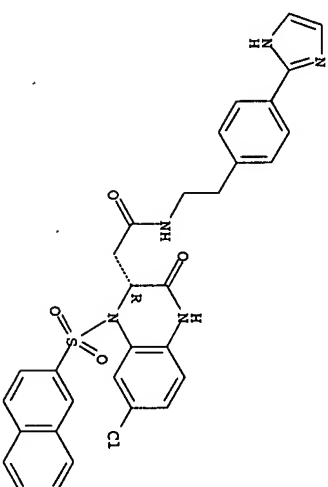
RN 714565-23-8 CAPLUS  
CN 2-Quinoxalineacetamide, 1,2,3,4-tetrahydro-N-[2-[4-(1H-imidazol-2-yl)phenyl]ethyl]-1-(2-naphthalenylsulfonyl)-3-oxo-, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



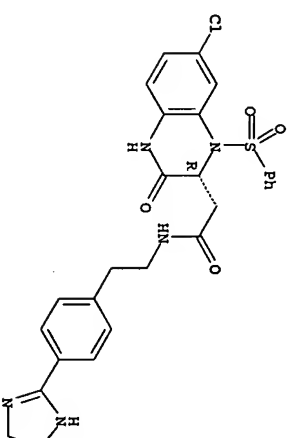
RN 714565-27-2 CAPLUS  
CN 2-Quinoxalineacetamide, 7-chloro-1,2,3,4-tetrahydro-N-[2-[4-(1H-imidazol-2-yl)phenyl]ethyl]-1-(2-naphthalenylsulfonyl)-3-oxo-, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



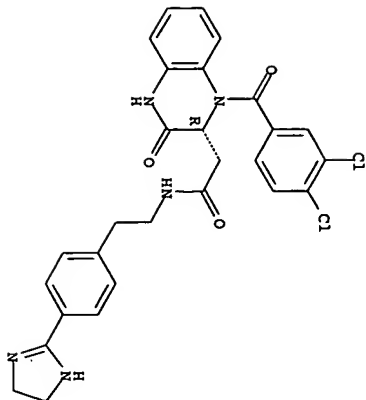
RN 714565-32-9 CAPLUS  
CN 2-Quinoxalineacetamide, 7-chloro-N-[2-[4-(4,5-dihydro-1H-imidazol-2-yl)phenyl]ethyl]-1-(3,4-tetrahydro-3-oxo-1-(phenylsulfonyl)-, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



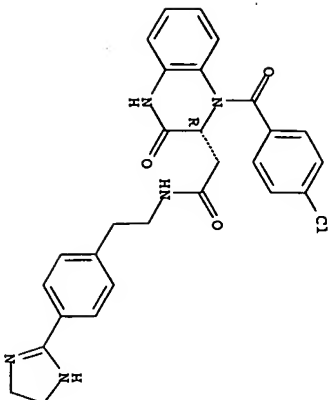
RN 714565-38-5 CAPLUS  
CN 2-Quinoxalineacetamide, 1-(3,4-dichlorobenzoyl)-N-[2-[4-(4,5-dihydro-1H-imidazol-2-yl)phenyl]ethyl]-1-(3,4-tetrahydro-3-oxo-1-(phenylsulfonyl)-, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



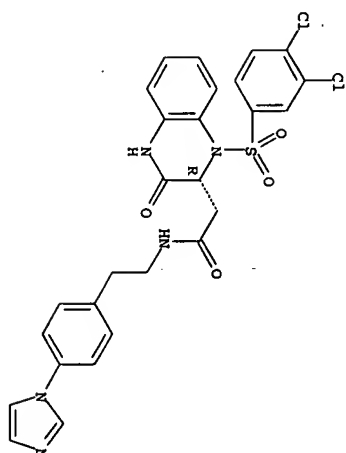
RN 714565-51-2 CAPIUS  
CN 2-Quinoxalineacetamide, 1-((4-chlorobenzoyl)-N-[2-((4,5-dihydro-1H-imidazol-2-yl)phenyl)ethyl]-1,2,3,4-tetrahydro-3-oxo-, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



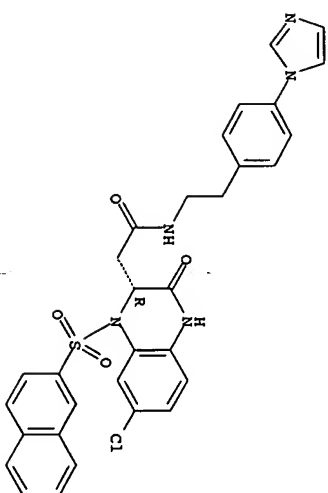
RN 714565-60-3 CAPIUS  
CN 2-Quinoxalineacetamide, 1-((3,4-dichlorophenyl)sulfonyl)-1,2,3,4-tetrahydro-N-[2-((1H-imidazol-1-yl)phenyl)ethyl]-3-oxo-, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



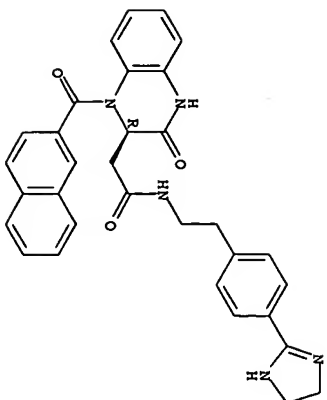
RN 714565-64-7 CAPIUS  
CN 2-Quinoxalineacetamide, 7-chloro-1,2,3,4-tetrahydro-N-[2-((1H-imidazol-1-yl)phenyl)ethyl]-1-(2-naphthalenylsulfonyl)-3-oxo-, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



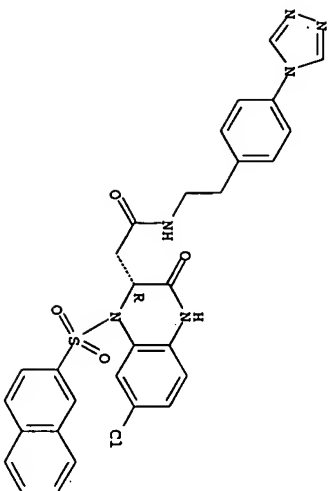
RN 714565-78-3 CAPIUS  
CN 2-Quinoxalineacetamide, N-[2-((4,5-dihydro-1H-imidazol-2-yl)phenyl)ethyl]-1-(2-naphthalenylsulfonyl)-3-oxo-, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



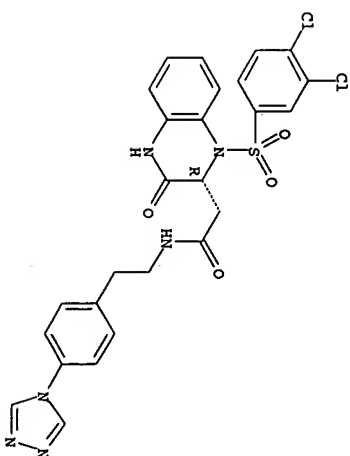
RN 714565-94-3 CAPLUS  
CN 2-Quinoxalineacetamide, 7-chloro-1,2,3,4-tetrahydro-1-(2-naphthalenylsulfonyl)-3-oxo-N-[2-[4-(4H-1,2,4-triazol-4-yl)phenyl]ethyl]-, (2R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



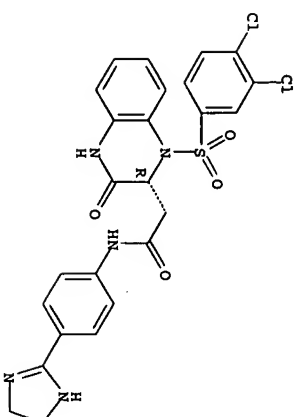
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Absolute stereochemistry.



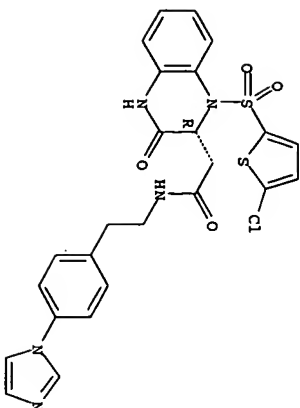
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Absolute stereochemistry.



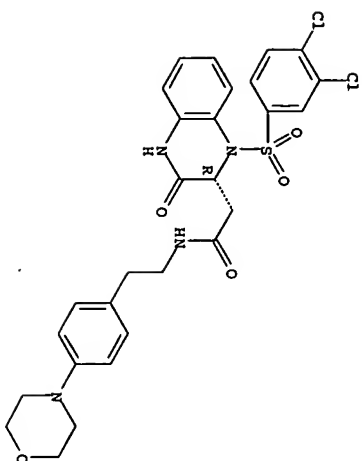
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Absolute stereochemistry.



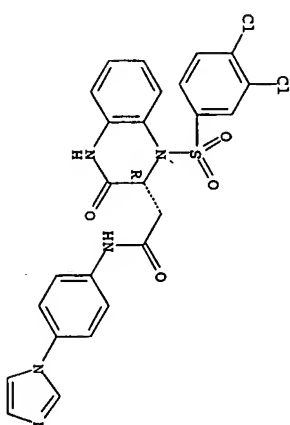
RN 714566-22-0 CAPLUS  
CN 2-Quinoxalineacetamide, 1-[(3,4-dichlorophenyl)sulfonyl]-1,2,3,4-tetrahydro-N-[4-(4-morpholinyl)phenyl]ethyl]-3-oxo-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



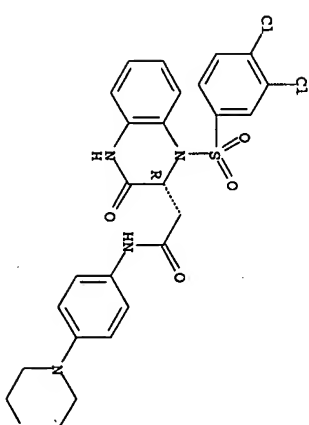
RN 714566-27-5 CAPLUS  
CN 2-Quinoxalineacetamide, 1-[(3,4-dichlorophenyl)sulfonyl]-1,2,3,4-tetrahydro-N-[4-(1H-imidazol-1-yl)phenyl]-3-oxo-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



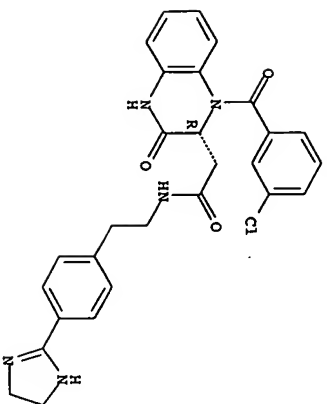
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Absolute stereochemistry.



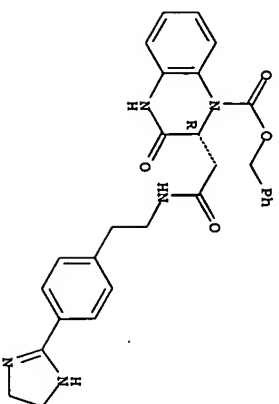
RN 714566-61-7 CAPLUS  
CN 2-Quinoxalineacetamide, 1-[(3-chlorobenzoyl)-N-[2-[4-(4,5-dihydro-1H-imidazol-2-yl)phenyl]ethyl]-1,2,3,4-tetrahydro-3-oxo-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



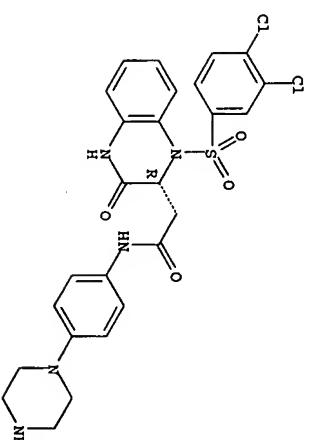
RN 714566-65-1 CAPLUS  
CN 1-(2H)-Quinoxalinecarboxylic acid, 2-[2-([2-(4,5-dihydro-1H-imidazol-2-yl]phenyl]ethyl]amino)-2-oxoethyl]-3,4-dihydro-3-oxo-, phenylmethyl ester, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



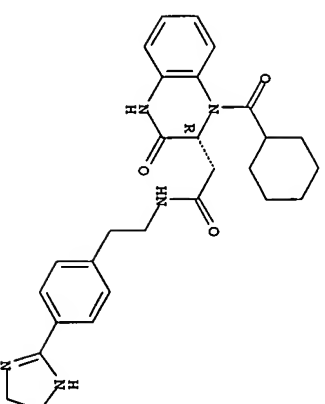
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CN 2-Quinoxalineacetamide, 1-([3,4-dichlorophenyl]sulfonyl)-1,2,3,4-tetrahydro-3-oxo-N-[4-(1-piperazinyl)phenyl]-, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



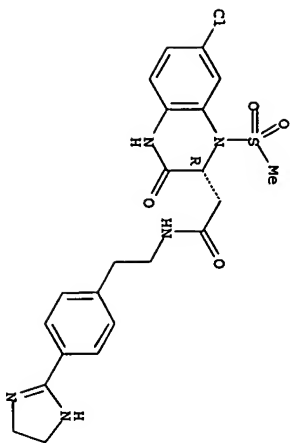
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CN 2-Quinoxalineacetamide, 1-(cyclohexylcarbonyl)-N-[2-(4,5-dihydro-1H-imidazol-2-yl]phenyl]ethyl]-1,2,3,4-tetrahydro-3-oxo-, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



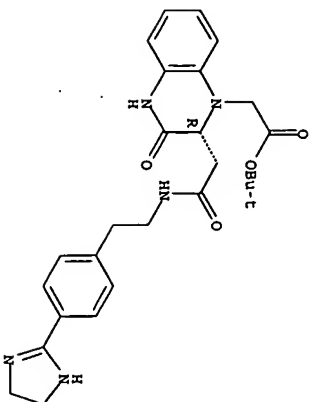
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CN 2-Quinoxalineacetamide, 7-chloro-N-[2-(4,5-dihydro-1H-imidazol-2-yl]phenyl]ethyl]-1,2,3,4-tetrahydro-1-(methylsulfonyl)-3-oxo-, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 714567-75-6 CAPLUS  
CN 1-(2H)-Quinoxalineacetic acid, 2-(2-[(4,5-dihydro-1H-imidazol-2-yl)phenyl]ethylamino)-2-oxoethyl]-3,4-dihydro-3-oxo-, 1,1-dimethylethyl ester, (2R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2

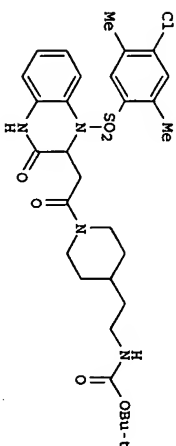
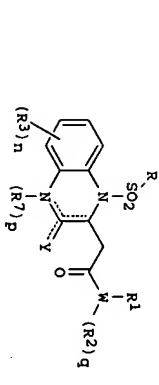
THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

LA ANSWER 4 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 2003:682758 CAPLUS  
DOCUMENT NUMBER: 139:395948  
TITLE: Preparation of sulfonylquinoxalone acetamide derivatives and related compounds as bradykinin antagonists

INVENTOR(S): Grant, Francine; Bartulis, Sarah; Brogley, Louie; Dappan, Michael S.; Kasar, Ramesh; Khan, Amin; Neitzel, Martin; Pleiss, Michael A.; Thoresett, Eugene D.; Tucker, John; Ye, Michael; Hawkinson, John  
PATENT ASSIGNEE(S): Elian Pharmaceuticals, Inc., USA  
SOURCE: PCT Int. Appl., 391 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003093245	A1	20031113	WO 2003-US1805	20030502
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GR, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PA, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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US 2004147519	A1	20040729	US 2003-429203	20030502
US 2004147520	A1	20040729	US 2003-429917	20030502
PRIORITY APPL. INFO.:			US 2002-378206P	20020503
OTHER SOURCE(S):			MARPAT 139:395948	P



II

AB Title compds. I [wherein n = 0-4; p = 0-1; q = 0-1; y = O, S, OR8, NHR8, NR8, or SR8; w = O, S, or N; when w = O or S, then q = 0; when w = N, then q = 1; R = (un)substituted (hetero)aryl or heterocyclyl; R1 and R2 = independently H or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, (hetero)aryl or heterocyclyl; or NR1R2 = (un)substituted (hetero)aryl or heterocyclyl; R3 = independently (un)substituted (cyclo)alkyl, alkenyl, alkynyl, amino, alkoxy, (hetero)aryl(oxy), heterocyclyl(oxy), acyl(oxy), halo, NO2, CN, OH, carboxy, or carbamoyl; R7 = H or (un)substituted (cyclo)alkyl, alkenyl, (hetero)aryl, heterocyclyl, or acyl(oxy); R8 = (un)substituted (cyclo)alkyl, alkenyl, (hetero)aryl, heterocyclyl, or acyl(oxy); with provisos; and pharmaceutically acceptable salts thereof] were prepared as bradykinin antagonists. For example, condensation of 2-[1-(4-chloro-2,5-dimethylbenzenesulfonyl)-3-oxo-1,2,3,4-tetrahydroquinoxalin-2-yl]acetic acid and 4-[2-(tert-butylcarbamoylamino)ethyl]piperidine in the presence of TEA and DPPA in DMF afforded II. Comps. of the invention inhibited the bradykinin B1 receptor in IMR-90 human lung fibroblast cells with IC50 values of 0.1 nM to 10,000 nM. Thus, I are useful for relieving symptoms associated with

bradykinin, including pain, inflammation, bronchoconstriction, cerebral edema, etc. (no data).

IT 625438-79-1P 625438-80-4P 625440-78-0P

625441-13-6P 625441-15-8P 625442-23-1P

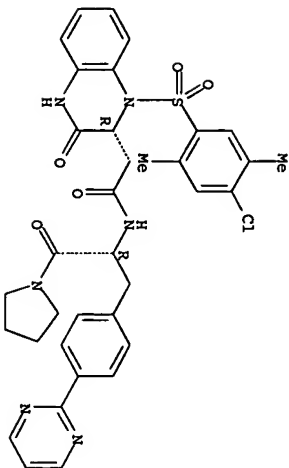
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(bradykinin B1 antagonist; preparation of (quinoxaliny)acetamides and related compounds, as bradykinin antagonists for treatment of pain, inflammation, and other disorders)

RN 625438-79-1 CAPUS

CN 625438-79-1 CAPUS  
2-Quinoxalineacetamide, 1-[(4-chloro-2,5-dimethylphenyl)sulfonyl]-1,2,3,4-tetrahydro-3-oxo-N-[(1R)-2-oxo-1-[(4-(2-pyrimidinyl)phenyl)methyl]-2-(1-pyrrolidinyl)ethyl]-, (2R) - (9CI) (CA INDEX NAME)

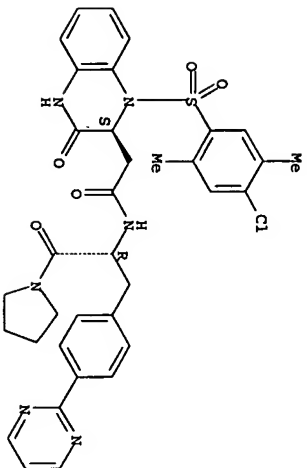
Absolute stereochemistry.



RN 625438-80-4 CAPUS

CN 625438-80-4 CAPUS  
2-Quinoxalineacetamide, 1-[(4-chloro-2,5-dimethylphenyl)sulfonyl]-1,2,3,4-tetrahydro-3-oxo-N-[(1R)-2-oxo-1-[(4-(2-pyrimidinyl)phenyl)methyl]-2-(1-pyrrolidinyl)ethyl]-, (2S) - (9CI) (CA INDEX NAME)

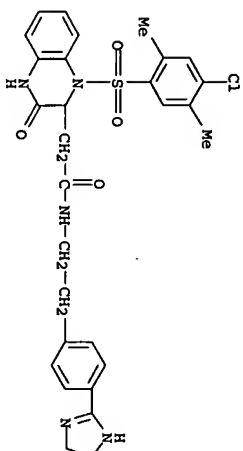
Absolute stereochemistry.



RN 625440-78-0 CAPUS

CN 625440-78-0 CAPUS  
2-Quinoxalineacetamide, 1-[(4-chloro-2,5-dimethylphenyl)sulfonyl]-N-(2-(4-

(4,5-dihydro-1H-imidazol-2-yl)phenyl]ethyl]-1,2,3,4-tetrahydro-3-oxo- (9CI) (CA INDEX NAME)



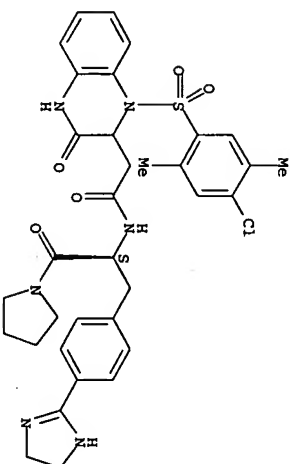
RN 625441-13-6 CAPUS

CN 625441-13-6 CAPUS  
2-Quinoxalineacetamide, 1-[(4-chloro-2,5-dimethylphenyl)sulfonyl]-N-[(1S)-1-[(4-(4,5-dihydro-1H-imidazol-2-yl)phenyl)methyl]-2-oxo-2-(1-pyrrolidinyl)ethyl]-1,2,3,4-tetrahydro-3-oxo-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

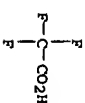
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Absolute stereochemistry.



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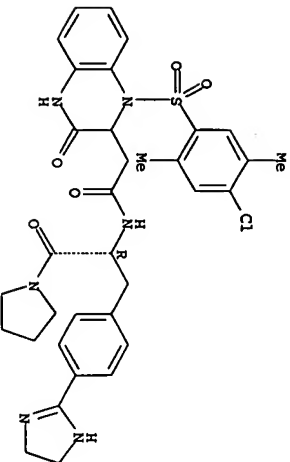
CRN 76-05-1  
CMF C2 H F3 O2





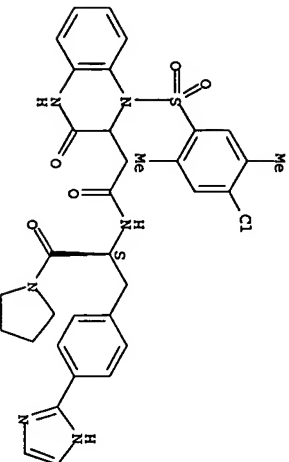
RN 625441-15-8 CAPLUS  
 CN 2-Quinoxalineacetamide, 1-[(4-chloro-2,5-dimethylphenyl)sulfonyl]-N-[(1R)-1-[(4-(4,5-dihydro-1H-imidazol-2-yl)phenyl)methyl]-2-oxo-2-(1-pyrrolidinyl)ethyl]-1,2,3,4-tetrahydro-3-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 625442-23-1 CAPLUS  
 CN 2-Quinoxalineacetamide, 1-[(4-chloro-2,5-dimethylphenyl)sulfonyl]-1,2,3,4-tetrahydro-N-[(1S)-1-[(4-(1H-imidazol-2-yl)phenyl)methyl]-2-oxo-2-(1-pyrrolidinyl)ethyl]-3-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2003:418208 CAPLUS  
 DOCUMENT NUMBER: 139:127923  
 TITLE: Discovery of a Potent, Non-peptide Bradykinin B1 Receptor Antagonist  
 AUTHOR(S): Su, Dai-Shi; Markowitz, M. Kristine; DiPardo, Robert M.; Murphy, Kathy L.; Harrell, C. Meacham; O'Malley, Stacy S.; Ransom, Richard W.; Chang, Raymond S. L.; Ha, Sookhee; Heas, Fred J.; Pettibone, Douglas J.;

CORPORATE SOURCE:

SOURCE:

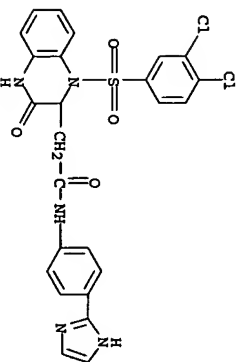
PUBLISHER:

DOCUMENT TYPE:

LANGUAGE: English

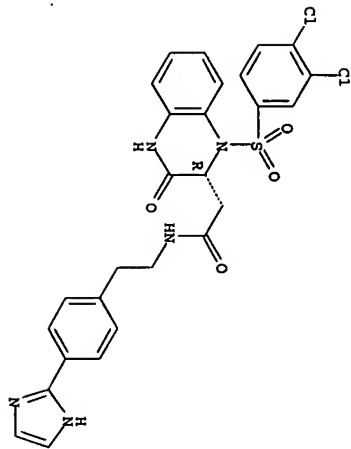
AB Bradykinin (BK) plays an important role in the pathophysiol. processes accompanying pain and inflammation. Selective bradykinin B1 receptor antagonists have been shown to be anti-nociceptive in animal models and could be novel therapeutic agents for the treatment of pain and inflammation. We have explored chemical modifications in a series of dihydroquinoxaline sulfonamides to evaluate the effects of various structural changes on biol. activity. The optimization of a screening lead compound, facilitated by a homol. model of the BK B1 receptor, culminated in the discovery of a potent human BK B1 receptor antagonist. Results from site-directed mutagenesis studies and expts. in an animal pain model are presented.  
 IT 565460-52-8P 565460-53-9P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USBS (Uses)

RN 565460-52-8 CAPLUS  
 CN 2-Quinoxalineacetamide, 1-[(3,4-dichlorophenyl)sulfonyl]-1,2,3,4-tetrahydro-N-[4-(1H-imidazol-2-yl)phenyl]-3-oxo- (9CI) (CA INDEX NAME)



RN 565460-53-9 CAPLUS  
 CN 2-Quinoxalineacetamide, 1-[(3,4-dichlorophenyl)sulfonyl]-1,2,3,4-tetrahydro-N-[2-(4-(1H-imidazol-2-yl)phenyl)ethyl]-3-oxo- (2R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2005 ACS on STM  
 ACCESSION NUMBER: 2003:76620 CAPLUS  
 DOCUMENT NUMBER: 138:131142  
 TITLE: Tetrahydroquinolines acting as bradykinin antagonists, their preparation, and their therapeutic use

INVENTOR(S):

Beyreuther, Bettina; Hahn, Michael; Kalius, Christopher; Kruger, Joachim; Meier, Heinrich; Reissmüller, Elke; Telan, Lella; Wiltke-Nopper, Reilinde; Kroll, Mathias  
 Bayer Aktiengesellschaft, Germany  
 PCT Int. Appl., 160 pp.  
 CODEN: PIXXD2

DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003007958	A1	20030130	WO 2002-EP7416	20020704
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GR, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, ZA, ZM, ZW, AM, AZ, BY, BG, BZ, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, NE, SN, TD, TG	A1	20030206	DE 2001-10134721	20010717
DE 10134721	A1	20040428	EP 2002-762319	20020704
EP 1411948	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK	20041209	JP 2003-513565	20020704
JP 2004536858	T2	20041125	US 2004-483464	20040614
US 2004235849	A1		DE 2001-10134721	A 20010717

PRIORITY APPLN. INFO.:

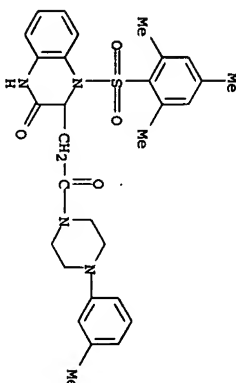
OTHER SOURCE(S): WARPAT 138:131142 WO 2002-EP7416 M 20020704

AB The invention discloses tetrahydroquinoline derivs., a method for producing them, and the use thereof for the treatment and/or prophylaxis of diseases, in particular for the treatment and/or prophylaxis of painful conditions. The compds. have an affinity for the bradykinin-1 receptor.

IT 491847-64-4P 491848-17-0P 491848-18-1P  
 491848-19-2P 491848-20-5P 491848-21-6P  
 491848-22-7P 491848-25-0P 491848-41-0P  
 491848-42-1P 491848-43-2P 491848-44-3P

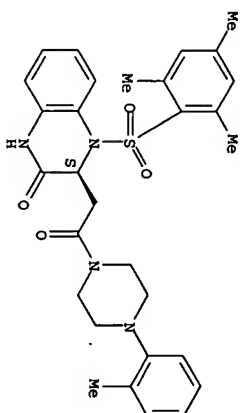
491848-45-4P  
 RU: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USSES (Uses)

(tetrahydroquinoline bradykinin antagonists, preparation, and therapeutic use)  
 RN 491847-64-4 CAPLUS  
 CN Piperazine, 1-(3-methylphenyl)-4-[(1,2,3,4-tetrahydro-3-oxo-1-[(2,4,6-trimethylphenyl)sulfonyl]-2-quinolaliny]acetyl]- (9CI) (CA INDEX NAME)



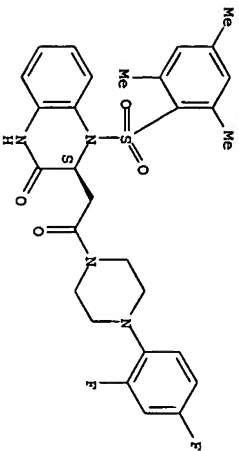
RN 491848-17-0 CAPLUS  
 CN Piperazine, 1-(2-methylphenyl)-4-[(1,2,3,4-tetrahydro-3-oxo-1-[(2,4,6-trimethylphenyl)sulfonyl]-2-quinolaliny]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



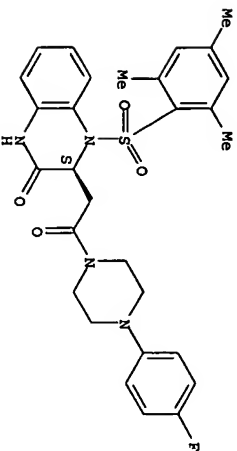
RN 491848-18-1 CAPLUS  
 CN Piperazine, 1-(2,4-difluorophenyl)-4-[(1,2,3,4-tetrahydro-3-oxo-1-[(2,4,6-trimethylphenyl)sulfonyl]-2-quinolaliny]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



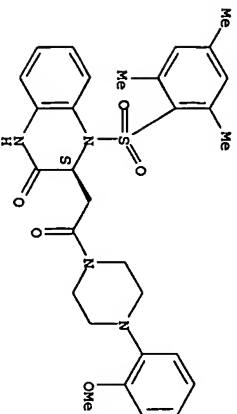
RN 491848-19-2 CAPLUS  
CN Piperazine, 1-((4-fluorophenyl)-4-(((2S)-1,2,3,4-tetrahydro-3-oxo-1-((2,4,6-trimethylphenyl)sulfonyl)-2-quinoxalinyloxy)acetyl))- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

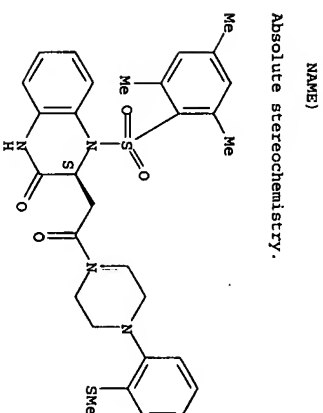


RN 491848-20-5 CAPLUS  
CN Piperazine, 1-((2-methoxyphenyl)-4-(((2S)-1,2,3,4-tetrahydro-3-oxo-1-((2,4,6-trimethylphenyl)sulfonyl)-2-quinoxalinyloxy)acetyl))- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

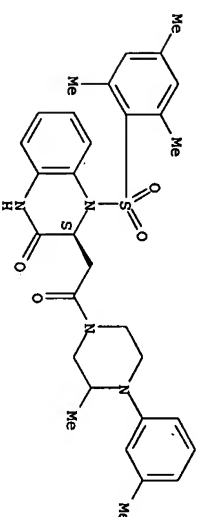


RN 491848-21-6 CAPLUS  
CN Piperazine, 1-((2-methylthio)phenyl)-4-(((2S)-1,2,3,4-tetrahydro-3-oxo-1-((2,4,6-trimethylphenyl)sulfonyl)-2-quinoxalinyloxy)acetyl))- (9CI) (CA INDEX NAME)



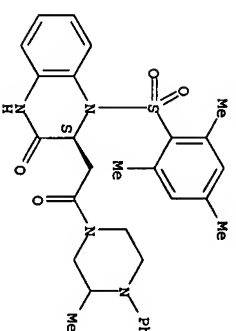
RN 491848-22-7 CAPLUS  
CN Piperazine, 2-methyl-1-((3-methylphenyl)-4-(((2S)-1,2,3,4-tetrahydro-3-oxo-1-((2,4,6-trimethylphenyl)sulfonyl)-2-quinoxalinyloxy)acetyl))- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 491848-25-0 CAPLUS  
CN Piperazine, 2-methyl-1-phenyl-4-(((2S)-1,2,3,4-tetrahydro-3-oxo-1-((2,4,6-trimethylphenyl)sulfonyl)-2-quinoxalinyloxy)acetyl))- (9CI) (CA INDEX NAME)

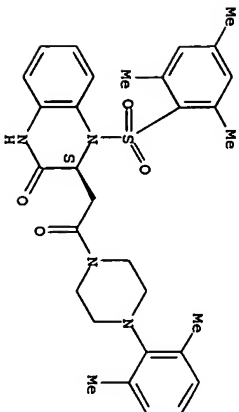
Absolute stereochemistry.



RN 491848-41-0 CAPLUS  
CN Piperazine, 1-((2,6-dimethylphenyl)-4-(((2S)-1,2,3,4-tetrahydro-3-oxo-1-((2,4,6-trimethylphenyl)sulfonyl)-2-quinoxalinyloxy)acetyl))- (9CI) (CA INDEX NAME)

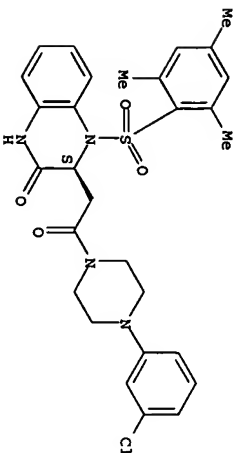
(NAME)

Absolute stereochemistry.



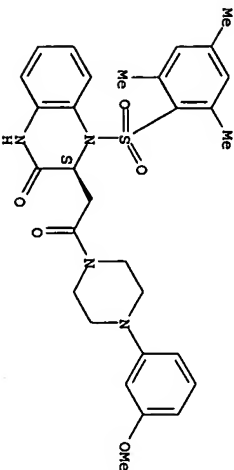
RN 491848-42-1 CAPLUS  
CN Piperazine, 1-(3-chlorophenyl)-4-[[[(2S)-1,2,3,4-tetrahydro-3-oxo-1-[(2,4,6-trimethylphenyl)sulfonyl]-2-quinoxalinyloxy]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



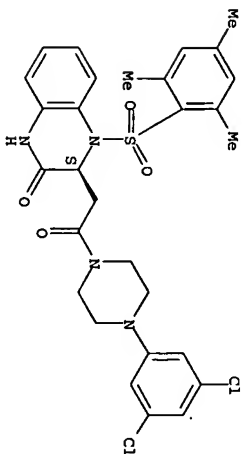
RN 491848-43-2 CAPLUS  
CN Piperazine, 1-(3-methoxyphenyl)-4-[[[(2S)-1,2,3,4-tetrahydro-3-oxo-1-[(2,4,6-trimethylphenyl)sulfonyl]-2-quinoxalinyloxy]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



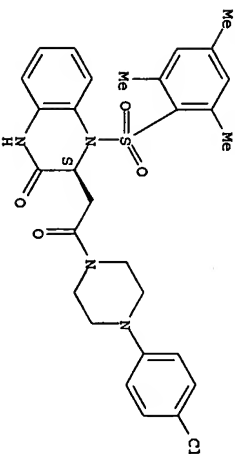
RN 491848-44-3 CAPLUS  
CN Piperazine, 1-(3,5-dichlorophenyl)-4-[[[(2S)-1,2,3,4-tetrahydro-3-oxo-1-[(2,4,6-trimethylphenyl)sulfonyl]-2-quinoxalinyloxy]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 491848-45-4 CAPLUS  
CN Piperazine, 1-(4-chlorophenyl)-4-[[[(2S)-1,2,3,4-tetrahydro-3-oxo-1-[(2,4,6-trimethylphenyl)sulfonyl]-2-quinoxalinyloxy]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

13

THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 7 CAPLUS: COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 1994:605386 CAPLUS  
DOCUMENT NUMBER: 121:205386  
TITLE: Preparation of quinoxalines as neuroprotectants for cerebral ischemia

INVENTOR(S): Fujikawa, Shigeki; Takai, Haruki; Ikeda, Junichi;

PATENT ASSIGNEE(S): Kudo, Kazuhito

SOURCE: Kyowa Hakko Kogyo Kk, Japan

DOCUMENT TYPE: Jpn. Kokai Tokyo Koho, 27 pp.

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION: Patent

PATENT NO. DATE APPLICATION NO. DATE

\*CLOSEST PRIOR ART

JP 05331151 A2 19931214 JP 1992-136752 19920528  
 PRIORITY APPL. INFO.: JP 1992-136752 19920528  
 OTHER SOURCE(S): MARPAT 121:205386

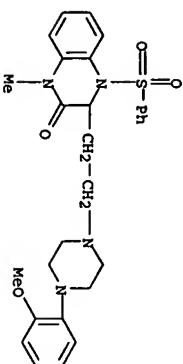
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Quinoxaline derivs., e. g. I [A = (substituted) aryl, aralkyl, etc.; R3 = R, (substituted) alkyl, aralkyl; n = 1 - 5; DE = N<sup>+</sup>C, or R<sup>+</sup>NC; R4 = H, (substituted) arylsulfonyl, etc.], are prepared. A mixture of quinoxaline II and 1-(2-methoxyphenyl)piperazine in THF containing tetra-nu ammonium bromide and Et3N was refluxed for 40 h to give quinoxalines III and IV (R = H).  
 IV (R = Me) showed min. ED of 3 mg/kg against brain ischemia in mice.

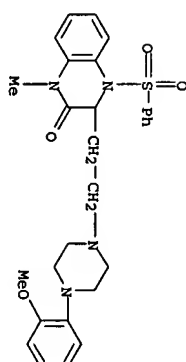
IT 157861-51-3P 157861-52-4P 157861-53-5P  
 157861-54-6P 157861-55-7P 157861-56-8P  
 157861-57-9P 157861-58-0P 157861-61-5P  
 157861-62-6P 157861-63-7P 157861-64-8P  
 157861-65-9P 157861-66-0P 157861-67-1P  
 157861-68-2P 157861-69-3P 157861-70-6P  
 157861-71-7P 157861-72-8P 157861-73-9P  
 157861-74-0P 157861-75-1P 157861-76-2P  
 157861-77-3P 157861-78-4P 157861-79-5P  
 157861-80-8P 157861-81-9P 157861-82-0P  
 157861-83-1P 157861-84-2P 157861-85-3P  
 157861-86-4P 157861-87-5P 157861-88-6P  
 157861-89-7P 157861-90-0P 157861-91-1P  
 157861-92-2P 157861-93-3P 157861-94-4P  
 157861-95-5P 157861-96-6P 157861-97-7P  
 157861-98-8P

RI: SPN (Synthetic preparation): PREP (Preparation)  
 (preparation of, as neuroprotective agent)

RN 157861-51-3 CAPLUS  
 CN 2(1H)-Quinoxalinone, 3,4-dihydro-3-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-1-methyl-4-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

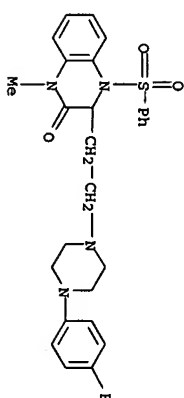


RN 157861-52-4 CAPLUS  
 CN 2(1H)-Quinoxalinone, 3,4-dihydro-3-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-1-methyl-4-(phenylsulfonyl)-, monohydrochloride (9CI)  
 (CA INDEX NAME)

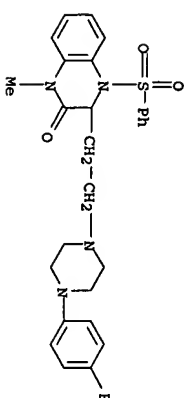


● HCl

RN 157861-53-5 CAPLUS  
 CN 2(1H)-Quinoxalinone, 3-[2-[4-(4-fluorophenyl)-1-piperazinyl]ethyl]-3,4-dihydro-1-methyl-4-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

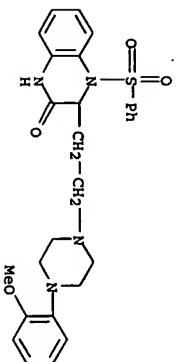


RN 157861-54-6 CAPLUS  
 CN 2(1H)-Quinoxalinone, 3-[2-[4-(4-fluorophenyl)-1-piperazinyl]ethyl]-3,4-dihydro-1-methyl-4-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

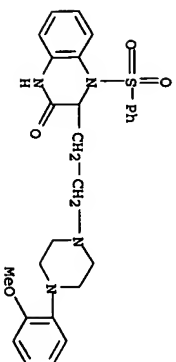


● HCl

RN 157861-55-7 CAPLUS  
 CN 2(1H)-Quinoxalinone, 3,4-dihydro-3-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-4-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

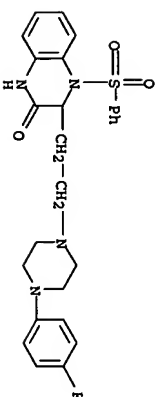


RN 157861-56-8 CAPLUS  
CN 2(1H)-Quinoxalinone, 3,4-dihydro-3-([2-(4-(2-methoxyphenyl)-1-piperazinyl)ethyl]-4-(phenylsulfonyl))- , dihydrochloride (9CI) (CA INDEX NAME)



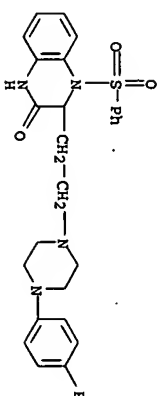
● 2 HCl

RN 157861-57-9 CAPLUS  
CN 2(1H)-Quinoxalinone, 3-[2-(4-(4-fluorophenyl)-1-piperazinyl)ethyl]-3,4-dihydro-4-(phenylsulfonyl))- , monohydrochloride (9CI) (CA INDEX NAME)

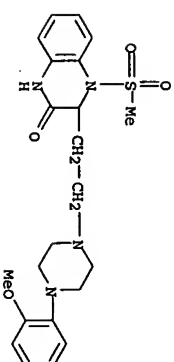


● HCl

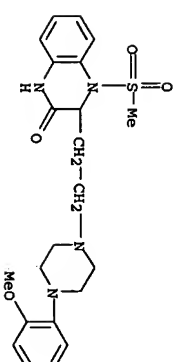
RN 157861-58-0 CAPLUS  
CN 2(1H)-Quinoxalinone, 3-[2-(4-(4-fluorophenyl)-1-piperazinyl)ethyl]-3,4-dihydro-4-(phenylsulfonyl))- (9CI) (CA INDEX NAME)



RN 157861-61-5 CAPLUS  
CN 2(1H)-Quinoxalinone, 3,4-dihydro-3-[2-(4-(2-methoxyphenyl)-1-piperazinyl)ethyl]-4-(methylsulfonyl))- (9CI) (CA INDEX NAME)

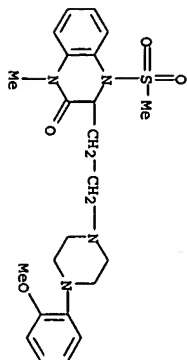


RN 157861-62-6 CAPLUS  
CN 2(1H)-Quinoxalinone, 3,4-dihydro-3-[2-(4-(2-methoxyphenyl)-1-piperazinyl)ethyl]-4-(methylsulfonyl))- , dihydrochloride (9CI) (CA INDEX NAME)

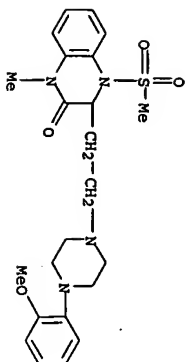


● 2 HCl

RN 157861-63-7 CAPLUS  
CN 2(1H)-Quinoxalinone, 3,4-dihydro-3-[2-(4-(2-methoxyphenyl)-1-piperazinyl)ethyl]-1-methyl-4-(methylsulfonyl))- (9CI) (CA INDEX NAME)

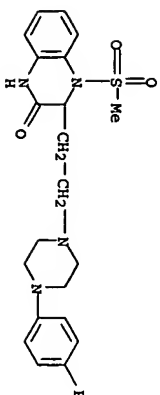


RN 157861-64-8 CAPLUS  
CN 2 (1H)-Quinoxalinone, 3,4-dihydro-3-[(2-(4-(2-methoxyphenyl)-1-piperazinyl)ethyl)-1-methyl-4-(methylsulfonyl)-], monohydrochloride (9CI) (CA INDEX NAME)

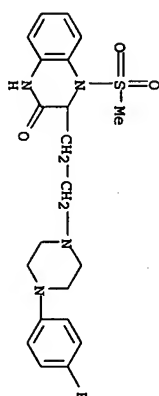


RN 157861-65-9 CAPLUS  
CN 2 (1H)-Quinoxalinone, 3-[(2-(4-(4-fluorophenyl)-1-piperazinyl)ethyl)-3,4-dihydro-4-(methylsulfonyl)-] (9CI) (CA INDEX NAME)

● HCl

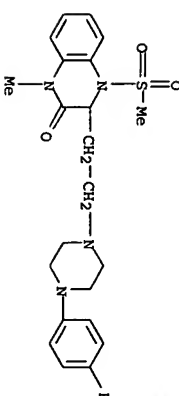


RN 157861-66-0 CAPLUS  
CN 2 (1H)-Quinoxalinone, 3-[(2-(4-(4-fluorophenyl)-1-piperazinyl)ethyl)-3,4-dihydro-4-(methylsulfonyl)-], monohydrochloride (9CI) (CA INDEX NAME)



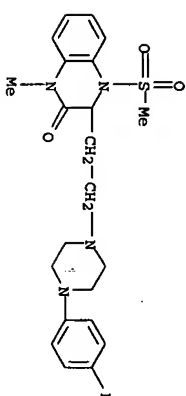
RN 157861-67-1 CAPLUS  
CN 2 (1H)-Quinoxalinone, 3-[(2-(4-(4-fluorophenyl)-1-piperazinyl)ethyl)-3,4-dihydro-1-methyl-4-(methylsulfonyl)-] (9CI) (CA INDEX NAME)

● HCl

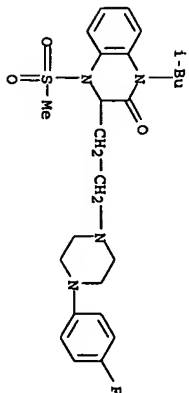


RN 157861-68-2 CAPLUS  
CN 2 (1H)-Quinoxalinone, 3-[(2-(4-(4-fluorophenyl)-1-piperazinyl)ethyl)-3,4-dihydro-1-methyl-4-(methylsulfonyl)-], monohydrochloride (9CI) (CA INDEX NAME)

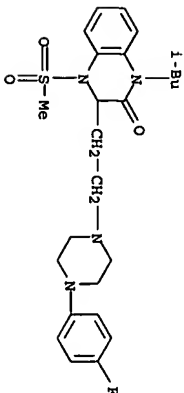
● HCl



RN 157861-69-3 CAPLUS  
CN 2 (1H)-Quinoxalinone, 3-[(2-(4-(4-fluorophenyl)-1-piperazinyl)ethyl)-3,4-dihydro-1-(2-methylpropyl)-4-(methylsulfonyl)-] (9CI) (CA INDEX NAME)

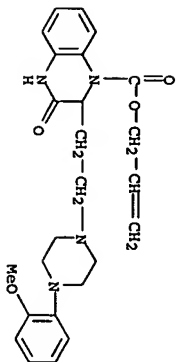


RN 157861-70-6 CAPLUS  
CN 2(1H)-Quinoxalinone, 3-[2-[4-(4-fluorophenyl)-1-piperazinyl]ethyl]-3,4-dihydro-1-(2-methylpropyl)-4-(methylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

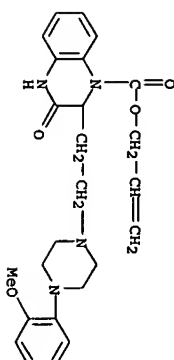


● HCl

RN 157861-71-7 CAPLUS  
CN 1(2H)-Quinoxalinecarboxylic acid, 3,4-dihydro-2-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-3-oxo-, 2-propenyl ester (9CI) (CA INDEX NAME)

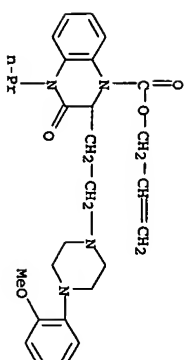


RN 157861-72-8 CAPLUS  
CN 1(2H)-Quinoxalinecarboxylic acid, 3,4-dihydro-2-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-3-oxo-, 2-propenyl ester, monohydrochloride (9CI) (CA INDEX NAME)

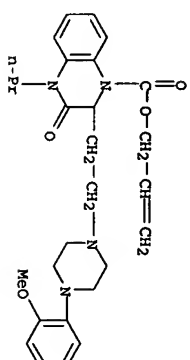


● HCl

RN 157861-73-9 CAPLUS  
CN 1(2H)-Quinoxalinecarboxylic acid, 3,4-dihydro-2-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-3-oxo-4-propyl-, 2-propenyl ester (9CI) (CA INDEX NAME)



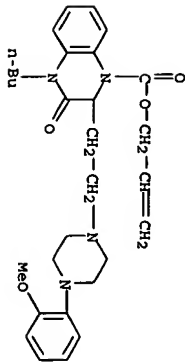
RN 157861-74-0 CAPLUS  
CN 1(2H)-Quinoxalinecarboxylic acid, 3,4-dihydro-2-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-3-oxo-4-propyl-, 2-propenyl ester, monohydrochloride (9CI) (CA INDEX NAME)



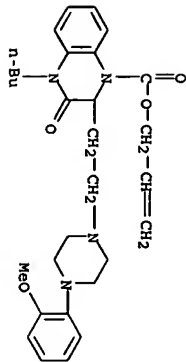
● HCl

RN 157861-75-1 CAPLUS  
CN 1(2H)-Quinoxalinecarboxylic acid, 4-butyl-3,4-dihydro-2-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-3-oxo-, 2-propenyl ester (9CI) (CA INDEX NAME)



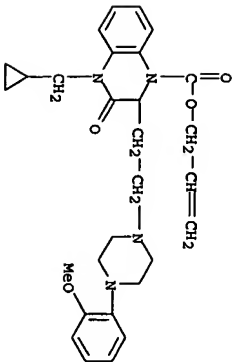


RN 157861-76-2 CAPLUS  
CN 1 (2H)-Quinoxalinecarboxylic acid, 4-butyl-3,4-dihydro-2-[(2-[(4-(2-methoxyphenyl)-1-piperazinyl)ethyl]-3-oxo-2-propenyl) ester, dihydrochloride (9CI) (CA INDEX NAME)

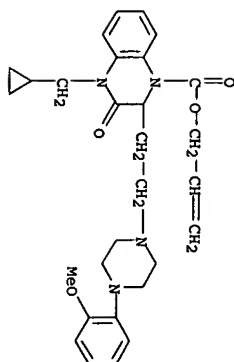


RN 157861-77-3 CAPLUS  
CN 1 (2H)-Quinoxalinecarboxylic acid, 4-(cyclopropylmethyl)-3,4-dihydro-2-[(2-[(4-(2-methoxyphenyl)-1-piperazinyl)ethyl]-3-oxo-2-propenyl) ester (9CI) (CA INDEX NAME)

● 2 HCl

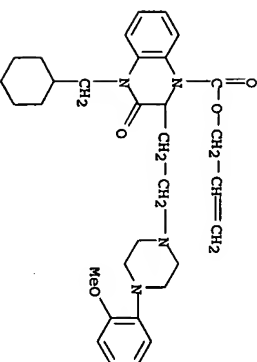


RN 157861-78-4 CAPLUS  
CN 1 (2H)-Quinoxalinecarboxylic acid, 4-(cyclopropylmethyl)-3,4-dihydro-2-[(2-[(4-(2-methoxyphenyl)-1-piperazinyl)ethyl]-3-oxo-2-propenyl) ester, monohydrochloride (9CI) (CA INDEX NAME)

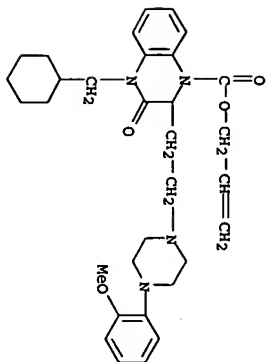


RN 157861-79-5 CAPLUS  
CN 1 (2H)-Quinoxalinecarboxylic acid, 4-(cyclohexylmethyl)-3,4-dihydro-2-[(2-[(4-(2-methoxyphenyl)-1-piperazinyl)ethyl]-3-oxo-2-propenyl) ester (9CI) (CA INDEX NAME)

● HCl

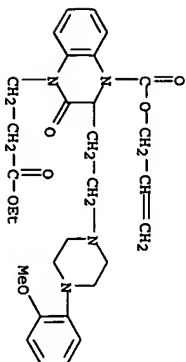


RN 157861-80-8 CAPLUS  
CN 1 (2H)-Quinoxalinecarboxylic acid, 4-(cyclohexylmethyl)-3,4-dihydro-2-[(2-[(4-(2-methoxyphenyl)-1-piperazinyl)ethyl]-3-oxo-2-propenyl) ester, monohydrochloride (9CI) (CA INDEX NAME)



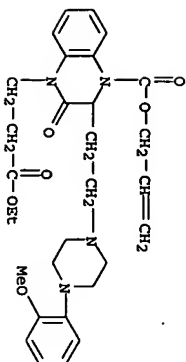
● HCl

RN 157861-81-9 CAPLUS  
CN 1 (2H)-Quinoxalinepropanoic acid, 3,4-dihydro-3-[2-(4-(2-methoxyphenyl)-1-piperazinyl)ethyl]-2-oxo-4-[(2-propenyloxy)carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



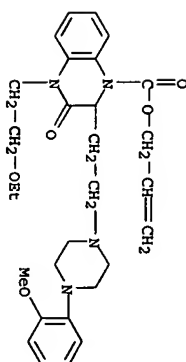
CH<sub>2</sub>-CH<sub>2</sub>-C(=O)-OEt

RN 157861-82-0 CAPLUS  
CN 1 (2H)-Quinoxalinepropanoic acid, 3,4-dihydro-3-[2-(4-(2-methoxyphenyl)-1-piperazinyl)ethyl]-2-oxo-4-[(2-propenyloxy)carbonyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

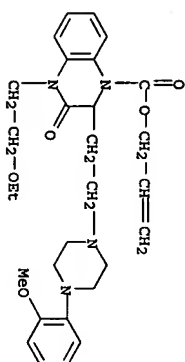


● 2 HCl

RN 157861-83-1 CAPLUS  
CN 1 (2H)-Quinoxalinecarboxylic acid, 4-(2-ethoxyethyl)-3,4-dihydro-2-[2-(4-(2-methoxyphenyl)-1-piperazinyl)ethyl]-3-oxo-, 2-propenyl ester (9CI) (CA INDEX NAME)

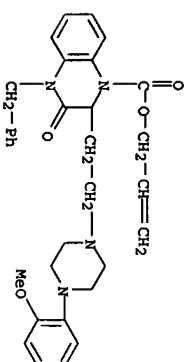


RN 157861-84-2 CAPLUS  
CN 1 (2H)-Quinoxalinecarboxylic acid, 4-(2-ethoxyethyl)-3,4-dihydro-2-[2-(4-(2-methoxyphenyl)-1-piperazinyl)ethyl]-3-oxo-, 2-propenyl ester, monohydrochloride (9CI) (CA INDEX NAME)

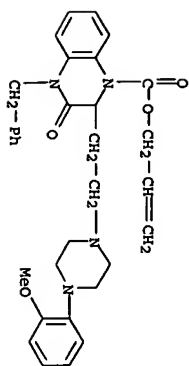


● HCl

RN 157861-85-3 CAPLUS  
CN 1 (2H)-Quinoxalinecarboxylic acid, 3,4-dihydro-2-[2-(4-(2-methoxyphenyl)-1-piperazinyl)ethyl]-3-oxo-4-(phenylmethyl)-, 2-propenyl ester (9CI) (CA INDEX NAME)

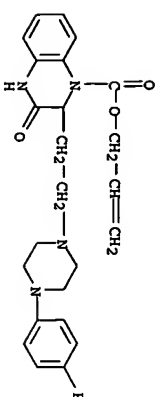


RN 157861-86-4 CAPLUS  
CN 1 (2H)-Quinoxalinecarboxylic acid, 3,4-dihydro-2-[2-(4-(2-methoxyphenyl)-1-piperazinyl)ethyl]-3-oxo-4-(phenylmethyl)-, 2-propenyl ester, monohydrochloride (9CI) (CA INDEX NAME)

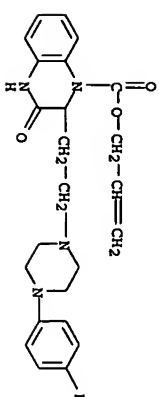


● HCl

RN 157861-87-5 CAPLUS  
CN 1 (2H)-Quinoxalinecarboxylic acid, 2-[2-(4-(4-fluorophenyl)-1-piperazinyl)ethyl]-3,4-dihydro-3-oxo-, 2-propenyl ester (9CI) (CA INDEX NAME)

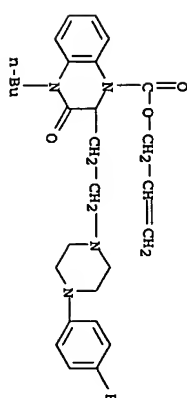


RN 157861-88-6 CAPLUS  
CN 1 (2H)-Quinoxalinecarboxylic acid, 2-[2-(4-(4-fluorophenyl)-1-piperazinyl)ethyl]-3,4-dihydro-3-oxo-, 2-propenyl ester, monohydrochloride (9CI) (CA INDEX NAME)

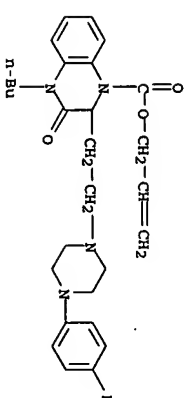


● HCl

RN 157861-89-7 CAPLUS  
CN 1 (2H)-Quinoxalinecarboxylic acid, 4-butyl-2-[2-(4-(4-fluorophenyl)-1-piperazinyl)ethyl]-3,4-dihydro-3-oxo-, 2-propenyl ester (9CI) (CA INDEX NAME)

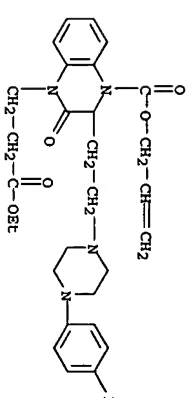


RN 157861-90-0 CAPLUS  
CN 1 (2H)-Quinoxalinecarboxylic acid, 4-butyl-2-[2-(4-(4-fluorophenyl)-1-piperazinyl)ethyl]-3,4-dihydro-3-oxo-, 2-propenyl ester, monohydrochloride (9CI) (CA INDEX NAME)

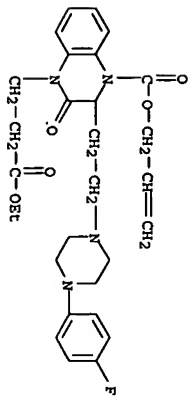


● HCl

RN 157861-91-1 CAPLUS  
CN 1 (2H)-Quinoxalinepropanoic acid, 3-[2-(4-(4-fluorophenyl)-1-piperazinyl)ethyl]-3,4-dihydro-2-oxo-4-[(2-propenyl)oxy]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

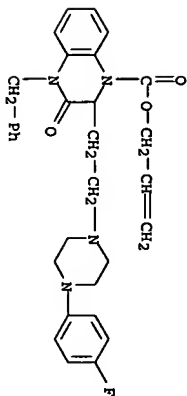


RN 157861-92-2 CAPLUS  
CN 1 (2H)-Quinoxalinepropanoic acid, 3-[2-(4-(4-fluorophenyl)-1-piperazinyl)ethyl]-3,4-dihydro-2-oxo-4-[(2-propenyl)oxy]carbonyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

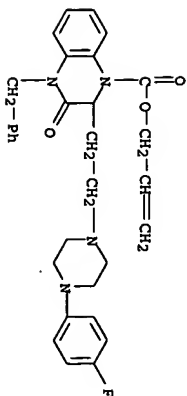


● HCl

RN 157861-93-3 CAPLUS  
CN 1 (2H)-Quinoxalinecarboxylic acid, 2-[2-[4-(4-fluorophenyl)-1-piperazinyl]ethyl]-3,4-dihydro-3-oxo-4-(phenylmethyl)-, 2-propenyl ester (9CI) (CA INDEX NAME)

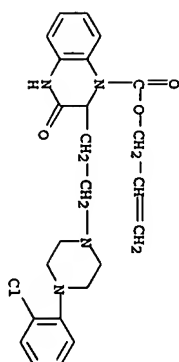


RN 157861-94-4 CAPLUS  
CN 1 (2H)-Quinoxalinecarboxylic acid, 2-[2-[4-(4-fluorophenyl)-1-piperazinyl]ethyl]-3,4-dihydro-3-oxo-4-(phenylmethyl)-, 2-propenyl ester, monohydrochloride (9CI) (CA INDEX NAME)

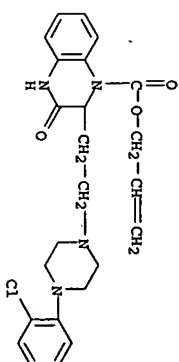


● HCl

RN 157861-95-5 CAPLUS  
CN 1 (2H)-Quinoxalinecarboxylic acid, 2-[2-[4-(2-chlorophenyl)-1-piperazinyl]ethyl]-3,4-dihydro-3-oxo-, 2-propenyl ester (9CI) (CA INDEX NAME)

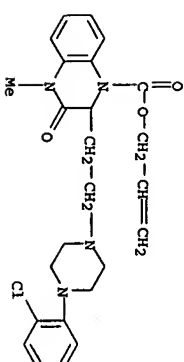


RN 157861-96-6 CAPLUS  
CN 1 (2H)-Quinoxalinecarboxylic acid, 2-[2-[4-(2-chlorophenyl)-1-piperazinyl]ethyl]-3,4-dihydro-3-oxo-, 2-propenyl ester, monohydrochloride (9CI) (CA INDEX NAME)

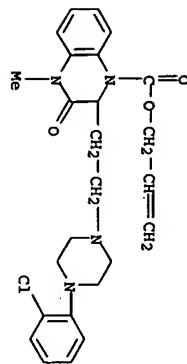


● HCl

RN 157861-97-7 CAPLUS  
CN 1 (2H)-Quinoxalinecarboxylic acid, 2-[2-[4-(2-chlorophenyl)-1-piperazinyl]ethyl]-3,4-dihydro-4-methyl-3-oxo-, 2-propenyl ester (9CI) (CA INDEX NAME)



RN 157861-98-8 CAPLUS  
CN 1 (2H)-Quinoxalinecarboxylic acid, 2-[2-[4-(2-chlorophenyl)-1-piperazinyl]ethyl]-3,4-dihydro-4-methyl-3-oxo-, 2-propenyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

-> LOGOFF  
 ALL LH QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF  
 LOGOFF (Y)/N/HOLD:Y  
 COST IN U.S. DOLLARS

FULL ESTIMATED COST	SINCE FILE ENTRY	TOTAL SESSION
	35.93	197.90

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

CA SUBSCRIBER PRICE	SINCE FILE ENTRY	TOTAL SESSION
	-5.11	-5.11

STM INTERNATIONAL LOGOFF AT 11:33:08 ON 28 JAN 2005